

EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|------|-------------------------|---|------------------|---------|------------------|
| L1 | 999 | (546/118,514/303).CCLS. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | OFF | 2007/09/21 06:40 |
| L2 | 176 | I1 and aminopyridine | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | OFF | 2007/09/21 06:40 |
| L3 | 1 | I2 and inflammator | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | OFF | 2007/09/21 06:41 |
| L4 | 40 | I2 and n-oxide | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | OFF | 2007/09/21 06:41 |

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|--------------|---|--|--|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | JUL 02 | LMEDLINE coverage updated |
| NEWS | 3 | JUL 02 | SCISEARCH enhanced with complete author names |
| NEWS | 4 | JUL 02 | CHEMCATS accession numbers revised |
| NEWS | 5 | JUL 02 | CA/CAPplus enhanced with utility model patents from China |
| NEWS | 6 | JUL 16 | CAPplus enhanced with French and German abstracts |
| NEWS | 7 | JUL 18 | CA/CAPplus patent coverage enhanced |
| NEWS | 8 | JUL 26 | USPATFULL/USPAT2 enhanced with IPC reclassification |
| NEWS | 9 | JUL 30 | USGENE now available on STN |
| NEWS | 10 | AUG 06 | CAS REGISTRY enhanced with new experimental property tags |
| NEWS | 11 | AUG 06 | BEILSTEIN updated with new compounds |
| NEWS | 12 | AUG 06 | FSTA enhanced with new thesaurus edition |
| NEWS | 13 | AUG 13 | CA/CAPplus enhanced with additional kind codes for granted patents |
| NEWS | 14 | AUG 20 | CA/CAPplus enhanced with CAS indexing in pre-1907 records |
| NEWS | 15 | AUG 27 | Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB |
| NEWS | 16 | AUG 27 | USPATOLD now available on STN |
| NEWS | 17 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS | 18 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS | 19 | SEP 13 | FORIS renamed to SOFIS |
| NEWS | 20 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS | 21 | SEP 17 | CA/CAPplus enhanced with printed CA page images from 1967-1998 |
| NEWS | 22 | SEP 17 | CAPplus coverage extended to include traditional medicine patents |
| | | | |
| NEWS EXPRESS | 19 | SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007. | |
| | | | |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability | | |
| NEWS LOGIN | Welcome Banner and News Items | | |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 | | |

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 06:35:34 ON 21 SEP 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.42

0.42

FILE 'REGISTRY' ENTERED AT 06:36:50 ON 21 SEP 2007

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STRUCTURE FILE UPDATES: 19 SEP 2007 HIGHEST RN 947584-60-3

DICTIONARY FILE UPDATES: 19 SEP 2007 HIGHEST RN 947584-60-3

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

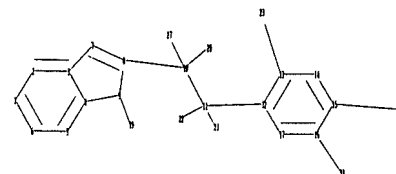
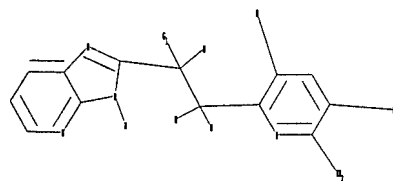
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=>

Uploading C:\Program Files\Stnexp\Queries\10573204.str



chain nodes :
 10 11 18 19 20 21 22 23 24 27
 ring nodes :
 1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
 chain bonds :
 8-10 9-19 10-11 10-20 10-27 11-12 11-21 11-22 13-23 15-24 16-18
 ring bonds :
 1-2 1-6 2-3 3-4 3-7 4-5 4-9 5-6 7-8 8-9 12-13 12-17 13-14 14-15 15-16
 16-17
 exact/norm bonds :
 3-7 4-9 7-8 8-9 10-27 16-18
 exact bonds :
 8-10 9-19 10-11 10-20 11-12 11-21 11-22 13-23 15-24
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17
 isolated ring systems :
 containing 1 : 12 :

G1:C,H

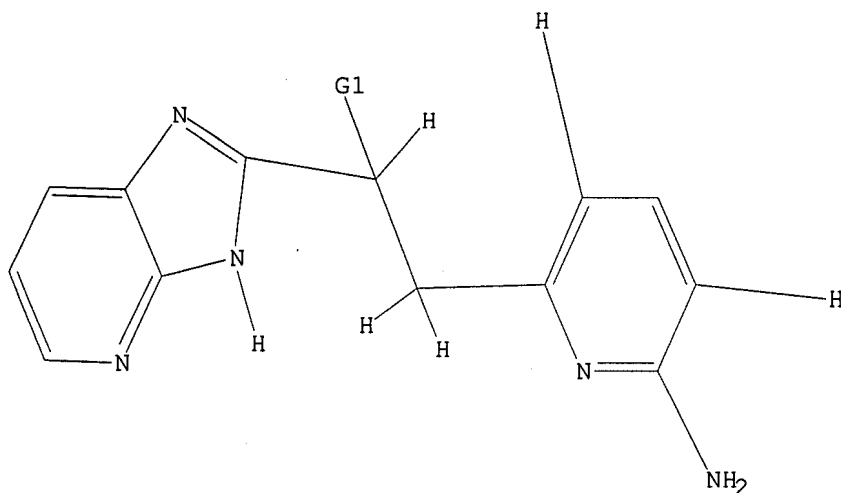
Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 06:37:10 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 8 TO 329

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 06:37:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 167 TO ITERATE

100.0% PROCESSED 167 ITERATIONS

27 ANSWERS

SEARCH TIME: 00.00.01

L3 27 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.52

FILE 'CAPLUS' ENTERED AT 06:37:19 ON 21 SEP 2007

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FILE LAST UPDATED: 20 Sep 2007 (20070920/ED)

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=> s l3 full

L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:43156 CAPLUS

DOCUMENT NUMBER: 144:163527

TITLE: The novel imidazopyridine 2-[2-(4-Methoxy-pyridin-2-yl)-ethyl]-3H-imidazo[4,5-b]pyridine (BYK191023) is a highly selective inhibitor of the inducible nitric-oxide synthase

AUTHOR(S): Strub, Andreas; Ulrich, Wolf-Ruediger; Hesslinger, Christian; Eltze, Manfred; Fuchss, Thomas; Strassner, Jochen; Strand, Susanne; Lehner, Martin D.; Boer, Rainer

CORPORATE SOURCE: Departments of Biochemistry, Chemistry and Pharmacology, ALTANA Pharma AG, Konstanz, Germany

SOURCE: Molecular Pharmacology (2006), 69(1), 328-337

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

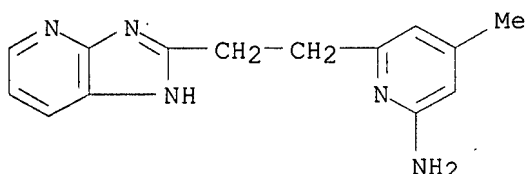
DOCUMENT TYPE: Journal

LANGUAGE: English

AB We have identified imidazopyridine derivs. as a novel class of NO synthase inhibitors with high selectivity for the inducible isoform. 2-[2-(4-Methoxy-pyridin-2-yl)-ethyl]-3H-imidazo[4,5-b]pyridine (BYK191023) showed half-maximal inhibition of crudely purified human inducible (iNOS), neuronal (nNOS), and endothelial (eNOS) NO synthases at 86 nM, 17 μ M, and 162 μ M, resp. Inhibition of inducible NO synthase was competitive with L-arginine, pointing to an interaction of BYK191023 with the catalytic center of the enzyme. In radioligand and surface plasmon resonance expts., BYK191023 exhibited an affinity for iNOS, nNOS, and eNOS of 450 nM, 30 μ M, and >500 μ M, resp. Inhibition of cellular nitrate/nitrite synthesis in RAW, rat mesangium, and human embryonic kidney 293 cells after iNOS induction showed 40- to 100-fold higher IC50 values than at the isolated enzyme, in agreement with the much higher L-arginine concns. in cell culture media and inside intact cells. BYK191023 did not show any toxicity in various rodent and human cell lines up to high micromolar concns. The inhibitory potency of BYK191023 was tested in isolated organ models of iNOS (lipopolysaccharide-treated and phenylephrine-precontracted rat aorta; IC50 = 7 μ M), eNOS (arecaidine propargyl ester-induced relaxation of phenylephrine-precontracted rat aorta; IC50 > 100 μ M), and nNOS (field-stimulated relaxation of phenylephrine-precontracted rabbit corpus cavernosum; IC50 > 100 μ M). These data confirm the high selectivity of BYK191023 for iNOS over eNOS and nNOS found at isolated enzymes. In summary, we have identified a new

highly selective iNOS inhibitor structurally unrelated to known compds. and L-arginine. BYK191023 is a valuable tool for the investigation of iNOS-mediated effects in vitro and in vivo.

IT 857379-46-5, BYK 237007
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (structure activity relationship studied of imidazopyridine compds. as selective inhibitors of nitric-oxide synthase isoforms)
 RN 857379-46-5 CAPLUS
 CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl- (9CI)
 (CA INDEX NAME)



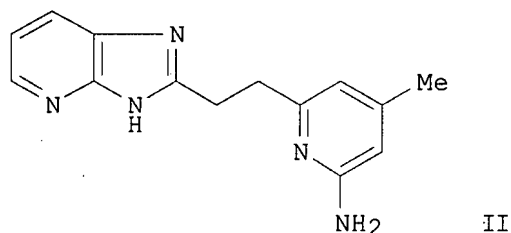
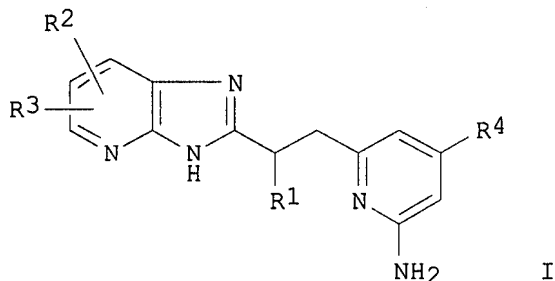
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:588961 CAPLUS
 DOCUMENT NUMBER: 143:115536
 TITLE: A preparation of (aminopyridinylethyl)imidazolopyridine derivatives, useful as inducible NO-synthase inhibitors
 INVENTOR(S): Boer, Rainer; Marx, Degenhard; Ulrich, Wolf-Ruediger; Eltze, Manfred; Nave, Ruediger; Strub, Andreas; Graedler, Ulrich; Fuchss, Thomas
 PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 2005061496 | A1 | 20050707 | WO 2004-EP52373 | 20040930 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004303515 | A1 | 20050707 | AU 2004-303515 | 20040930 |
| CA 2540230 | A1 | 20050707 | CA 2004-2540230 | 20040930 |
| EP 1670798 | A1 | 20060621 | EP 2004-820599 | 20040930 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| CN 1856493 | A | 20061101 | CN 2004-80027807 | 20040930 |
| BR 2004015034 | A | 20061212 | BR 2004-15034 | 20040930 |
| JP 2007507464 | T | 20070329 | JP 2006-530261 | 20040930 |
| MX 2006PA03345 | A | 20060608 | MX 2006-PA3345 | 20060324 |
| US 2007043072 | A1 | 20070222 | US 2006-573204 | 20060324 |

| | | | | |
|------------------------|---|----------|-----------------|------------|
| NO 2006001789 | A | 20060424 | NO 2006-1789 | 20060424 |
| IN 2006MN00476 | A | 20070427 | IN 2006-MN476 | 20060424 |
| PRIORITY APPLN. INFO.: | | | EP 2003-22040 | A 20031001 |
| | | | WO 2004-EP52373 | W 20040930 |

OTHER SOURCE(S): MARPAT 143:115536
GI



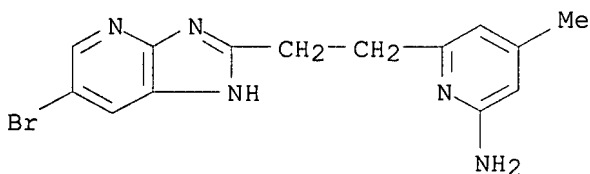
AB The invention relates to a preparation of (aminopyridinylethyl)imidazopyridine derivs. of formula I [wherein: R1 is H or alkyl; R2 is H, halogen, NH2, (cyclo)alkyl, or CF3, etc.; R3 is H, halogen, alkyl, or alkoxy R4 is alkyl or alkoxy], useful as antiinflammatory agents (inductible NO-synthase inhibitors). For instance, (aminopyridinylethyl)imidazopyridine derivative II was prepared via condensation of 4-methyl-2-(tritylamino)picolinaldehyde with [3H-imidazo[4,5-b]pyridin-2-ylmethyl]triphenylphosphonium chloride and subsequent reduction of the obtained intermediate. The invention compds. were tested for NO-synthase activity [-logIC50(mol/L) values range from 6.58 to 8.15].

IT 857379-53-4P 857379-56-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (aminopyridinylethyl)imidazopyridine derivs. useful as inducible NO-synthase inhibitors)

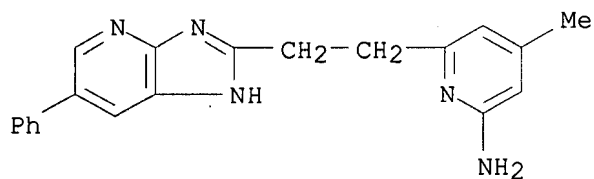
RN 857379-53-4 CAPLUS

CN 2-Pyridinamine, 6-[2-(6-bromo-1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 857379-56-7 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-(6-phenyl-1H-imidazo[4,5-b]pyridin-2-yl)ethyl]- (9CI) (CA INDEX NAME)



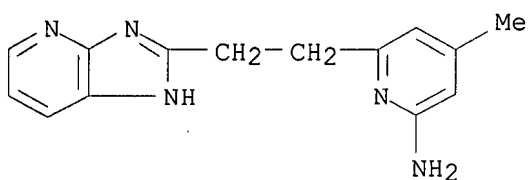
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 857379-74-9P 857379-75-0P 857379-76-1P
 857379-77-2P 857379-78-3P 857379-79-4P
 857379-81-8P 857380-22-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of (aminopyridinylethyl)imidazolopyridine derivs. useful as
 inducible NO-synthase inhibitors)

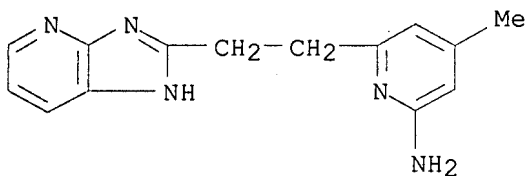
RN 857379-46-5 CAPLUS

CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl- (9CI)
 (CA INDEX NAME)



RN 857379-49-8 CAPLUS

CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl-,
 hydrochloride (9CI) (CA INDEX NAME)



●x HCl

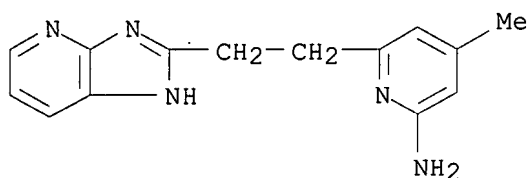
RN 857379-50-1 CAPLUS

CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methyl-,
 acetate (9CI) (CA INDEX NAME)

CM 1

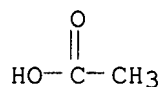
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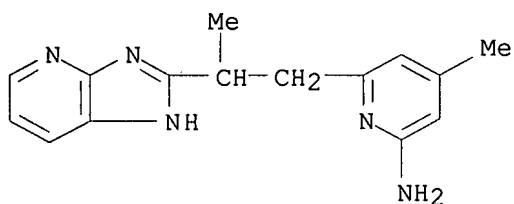


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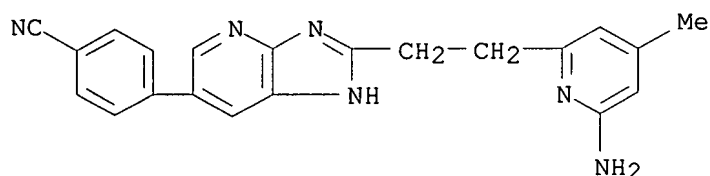
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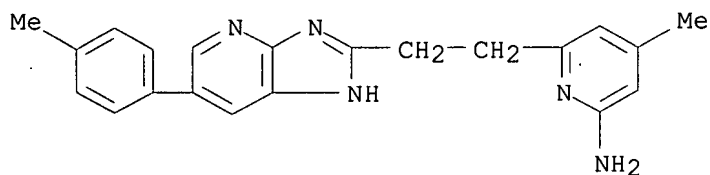
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(9CI) (CA INDEX NAME)



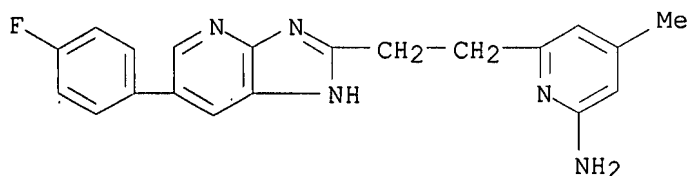
RN 857379-57-8 CAPLUS
CN Benzonitrile, 4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



RN 857379-58-9 CAPLUS
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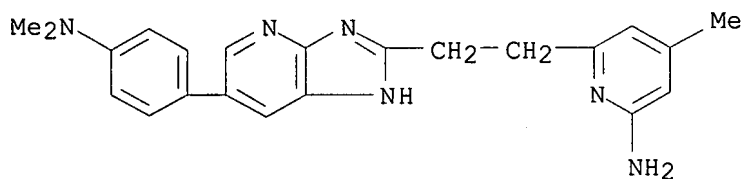


RN 857379-61-4 CAPLUS
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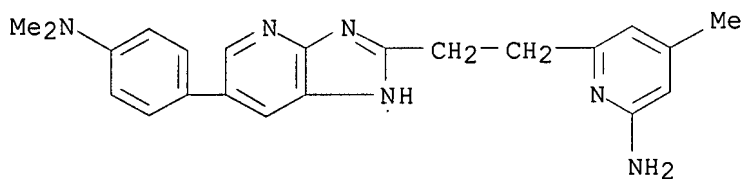
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CN 2-Pyridinamine, 6-[2-[6-[4-(dimethylamino)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 857379-65-8 CAPLUS

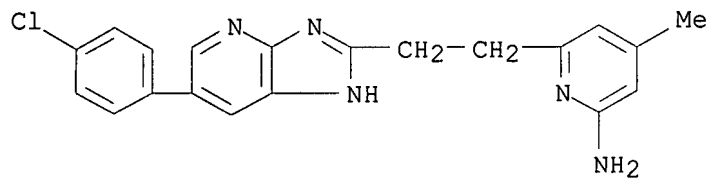
CN 2-Pyridinamine, 6-[2-[6-[4-(dimethylamino)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

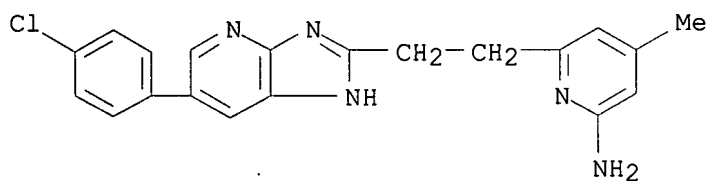
RN 857379-66-9 CAPLUS

CN 2-Pyridinamine, 6-[2-[6-(4-chlorophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



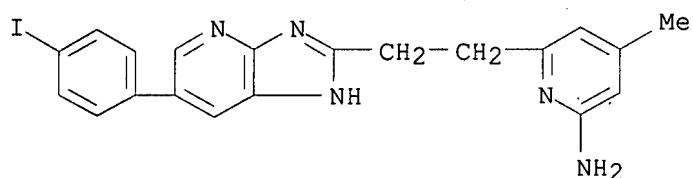
RN 857379-68-1 CAPLUS

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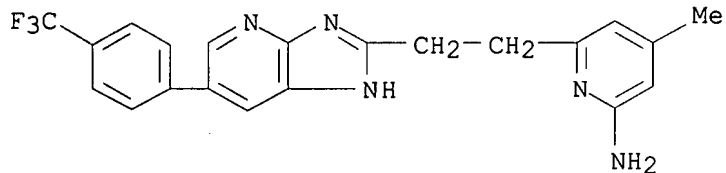


●x HCl

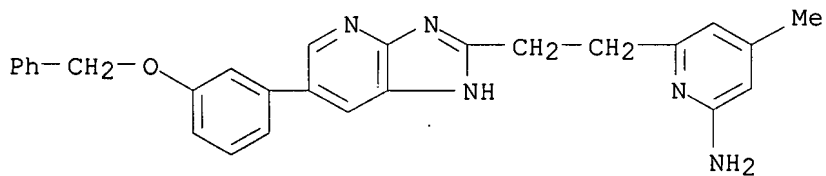
RN 857379-69-2 CAPLUS
 CN 2-Pyridinamine, 6-[2-[6-(4-iodophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



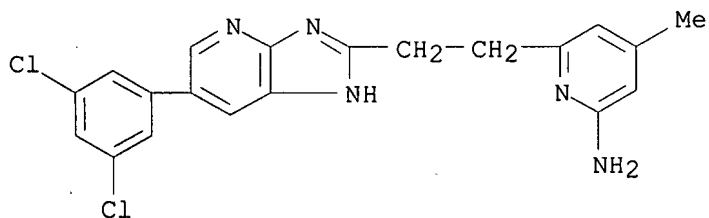
RN 857379-71-6 CAPLUS
 CN 2-Pyridinamine, 4-methyl-6-[2-[6-[4-(trifluoromethyl)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



RN 857379-72-7 CAPLUS
 CN 2-Pyridinamine, 4-methyl-6-[2-[6-[3-(phenylmethoxy)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]- (9CI) (CA INDEX NAME)

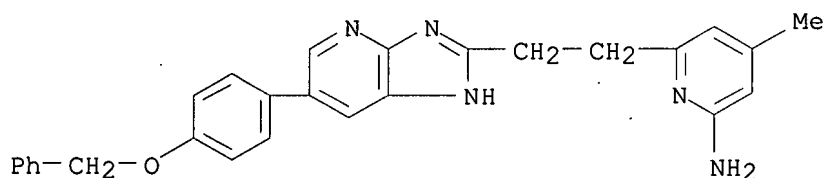


RN 857379-73-8 CAPLUS
 CN 2-Pyridinamine, 6-[2-[6-(3,5-dichlorophenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



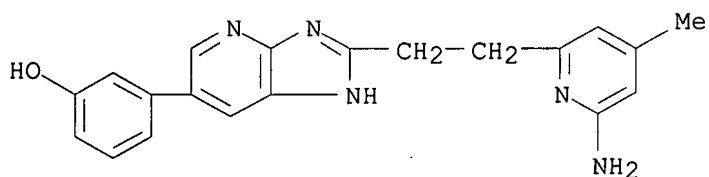
RN 857379-74-9 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-[6-[4-(phenylmethoxy)phenyl]-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]- (9CI) (CA INDEX NAME)



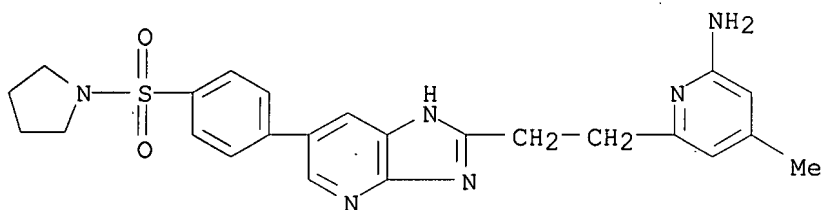
RN 857379-75-0 CAPLUS

CN Phenol, 3-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]- (9CI) (CA INDEX NAME)



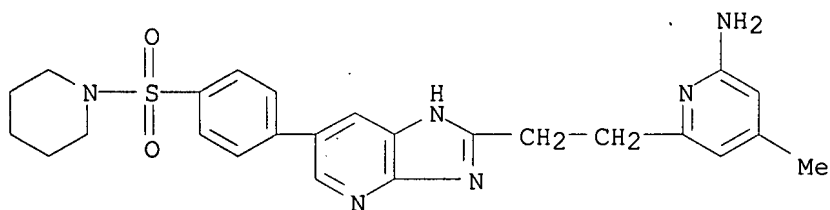
RN 857379-76-1 CAPLUS

CN Pyrrolidine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



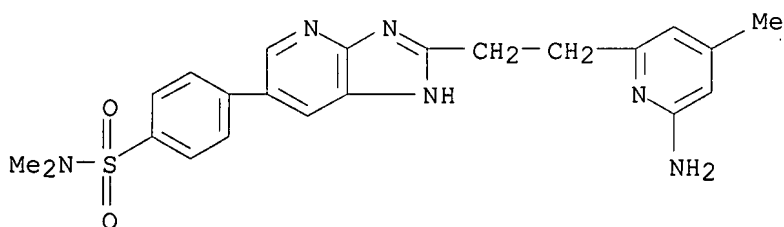
RN 857379-77-2 CAPLUS

CN Piperidine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



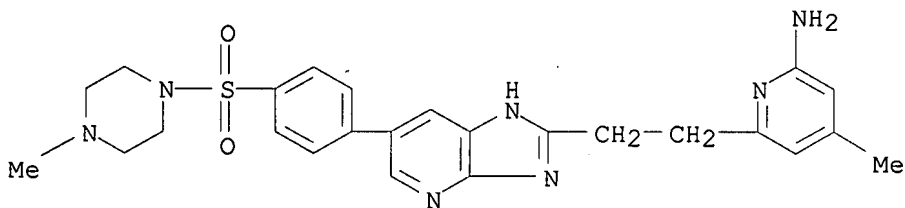
RN 857379-78-3 CAPLUS

CN Benzenesulfonamide, 4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 857379-79-4 CAPLUS

CN Piperazine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



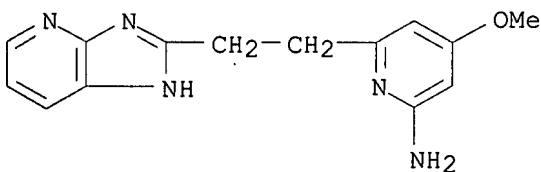
RN 857379-81-8 CAPLUS

CN 2-Pyridinamine, 6-[2-(1H-imidazo[4,5-b]pyridin-2-yl)ethyl]-4-methoxy-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 857379-80-7

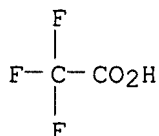
CMF C14 H15 N5 O



CM 2

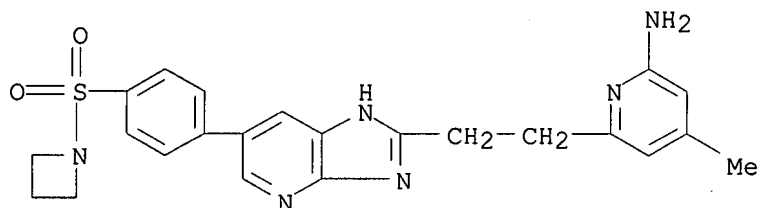
CRN 76-05-1

CMF C2 H F3 O2



RN 857380-22-4 CAPLUS

CN Azetidine, 1-[[4-[2-[2-(6-amino-4-methyl-2-pyridinyl)ethyl]-1H-imidazo[4,5-b]pyridin-6-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

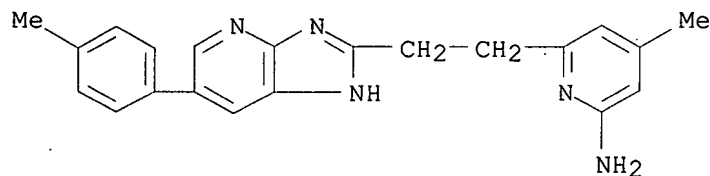


IT 857379-60-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (aminopyridinylethyl)imidazolopyridine derivs. useful as inductible NO-synthase inhibitors)

RN 857379-60-3 CAPLUS

CN 2-Pyridinamine, 4-methyl-6-[2-[6-(4-methylphenyl)-1H-imidazo[4,5-b]pyridin-2-yl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 06:35:34 ON 21 SEP 2007)

FILE 'REGISTRY' ENTERED AT 06:36:50 ON 21 SEP 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 27 S L1 FULL

FILE 'CAPLUS' ENTERED AT 06:37:19 ON 21 SEP 2007

L4 2 S L3 FULL

=> log y

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

| | | |
|--|------------|---------|
| FULL ESTIMATED COST | 11.01 | 183.53 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| CA SUBSCRIBER PRICE | ENTRY | SESSION |
| | -1.56 | -1.56 |

STN INTERNATIONAL LOGOFF AT 06:37:57 ON 21 SEP 2007